(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 25 August 2005 (25.08.2005)

PCT (10) International Publication Number WO 2005/076744 A3

(51) International Patent Classification: *A61K 38/14* (2006.01) *C07K 9/00* (2006.01

A61K 38/14 (2006.01) **C07K 9/00** (2006.01)

(21) International Application Number:

PCT/IL2005/000204

(22) International Filing Date:

17 February 2005 (17.02.2005)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/545,173

18 February 2004 (18.02.2004) US

(71) Applicants (for all designated States except US): FRU-TAROM LTD. [IL/IL]; 25 Hashaish Street, 26110 Haifa (IL). YISSUM RESEARCH DEVELOPMENT COMPANY OF THE HEBREW UNIVERSITY OF JERUSALEM [IL/IL]; P.O. Box 39135, Givat Ram, Jerusalem 91390 (IL).

(72) Inventors; and

(75) Inventors/Applicants (for US only): KATZHENDLER, Jehoshua [IL/IL]; 68 Hapalmach Street, 92583 Jerusalem (IL). KLAUZNER, Yakir [IL/IL]; 22 Burla Street, 93714 Jerusalem (IL). BEYLIS, Irena [IL/IL]; 28 El Nekave Street, 67655 Tel Aviv (IL). MIZHIRITSKII, Michael [IL/IL]; 15/7 Haroeh Street, 76209 Rehovot (IL). SHPERNAT, Yaacov [IL/IL]; 2 Hachavatzelet Street, 55454 Kiriat-Ono (IL). ASHKENAZI, Boris [IL/IL]; 6/5 Ha'amoraim Street, Rehovot 76549 (IL). FRIDLAND, Dmitri [IL/IL]; 5/4 King Hezkia Street, 77497 Ashdod (IL).

(74) Agent: WEBB, Cynthia; Webb & Associates, P.O. Box 2189, 76121 Rehovot (IL).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 8 September 2006

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: METHOD FOR THE PREPARATION OF PEPTIDE-OLIGONUCLEOTIDE CONJUGATES

(57) Abstract: The present invention relates to the synthesis of peptide-oligonucleotide conjugates (POC). More specifically, the invention relates to a novel method for the preparation of peptide-oligonucleotide conjugates, which can be conducted under mild conditions on solid support, can be performed manually or by a synthesizer, can be used to synthesize alternating sequences of peptides and oligonucleotides, and is applicable to the synthesis of a wide variety of peptide-oligonucleotide conjugates constructed from alternate peptide and oligonucleotide blocks.

